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LOGINID: SSSPTA1617SXK

PASSWORD:

TERMINAL (ENTER 1, 2, 3, OR ?):2

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NEWS
                 "Ask CAS" for self-help around the clock
                New STN AnaVist pricing effective March 1, 2006
NEWS
        FEB 27
NEWS
        MAY 10 CA/CAplus enhanced with 1900-1906 U.S. patent records
NEWS 5
        MAY 11
               KOREAPAT updates resume
NEWS 6 MAY 19
                Derwent World Patents Index to be reloaded and enhanced
     7 MAY 30
                IPC 8 Rolled-up Core codes added to CA/CAplus and
NEWS
                 USPATFULL/USPAT2
        MAY 30
NEWS
     8
                The F-Term thesaurus is now available in CA/CAplus
NEWS
        JUN 02
                The first reclassification of IPC codes now complete in
                 INPADOC
NEWS 10
        JUN 26
                TULSA/TULSA2 reloaded and enhanced with new search and
                 and display fields
                Price changes in full-text patent databases EPFULL and PCTFULL
NEWS 11 JUN 28
NEWS 12 JUL 11
                CHEMSAFE reloaded and enhanced
                FSTA enhanced with Japanese patents
NEWS 13 JUL 14
NEWS 14 JUl 19 Coverage of Research Disclosure reinstated in DWPI
NEWS 15 AUG 09 INSPEC enhanced with 1898-1968 archive
NEWS 16 AUG 28 ADISCTI Reloaded and Enhanced
NEWS 17 AUG 30
                CA(SM)/CAplus(SM) Austrian patent law changes
NEWS 18 SEP 11
                CA/CAplus enhanced with more pre-1907 records
NEWS 19
        SEP 21
                CA/CAplus fields enhanced with simultaneous left and right
                 truncation
NEWS 20
        SEP 25
                CA(SM)/CAplus(SM) display of CA Lexicon enhanced
        SEP 25
NEWS 21
                CAS REGISTRY(SM) no longer includes Concord 3D coordinates
NEWS 22
                CAS REGISTRY(SM) updated with amino acid codes for pyrrolysine
        SEP 25
NEWS 23
        SEP 28
                CEABA-VTB classification code fields reloaded with new
                classification scheme
NEWS EXPRESS
             JUNE 30 CURRENT WINDOWS VERSION IS V8.01b, CURRENT
             MACINTOSH VERSION IS V6.0c(ENG) AND V6.0Jc(JP),
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NEWS HOURS STN Operating Hours Plus Help Desk Availability

NEWS LOGIN Welcome Banner and News Items

NEWS IPC8 For general information regarding STN implementation of IPC 8

AND CURRENT DISCOVER FILE IS DATED 26 JUNE 2006.

NEWS X25 X.25 communication option no longer available

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* * * * * * * * * * * * * * * * STN Columbus * * * * * * * * * * * * * * * * * *

FILE 'HOME' ENTERED AT 10:52:41 ON 16 OCT 2006

=> file reg

COST IN U.S. DOLLARS

SINCE FILE TOTAL ENTRY SESSION 0.21 0.21

FULL ESTIMATED COST

FILE 'REGISTRY' ENTERED AT 10:53:01 ON 16 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

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STRUCTURE FILE UPDATES: 15 OCT 2006 HIGHEST RN 910448-76-9 DICTIONARY FILE UPDATES: 15 OCT 2006 HIGHEST RN 910448-76-9

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TSCA INFORMATION NOW CURRENT THROUGH June 30, 2006

Please note that search-term pricing does apply when conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and predicted properties as well as tags indicating availability of experimental property data in the original document. For information on property searching in REGISTRY, refer to:

http://www.cas.org/ONLINE/UG/regprops.html

=> s TSE-424

44 TSE 5529 424

L1 1 TSE-424

(TSE(W)424)

=> d L1 str cn rn

L1 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN

CN 1H-Indol-5-ol, 1-[[4-[2-(hexahydro-1H-azepin-1-yl)ethoxy]phenyl]methyl]-2-(4-hydroxyphenyl)-3-methyl-, monoacetate (salt) (9CI) (CA INDEX NAME)
OTHER NAMES:

CN Bazedoxifene acetate

CN TSE 424

CN WAY-TES 424

RN 198481-33-3 REGISTRY

CM 1

$$\begin{array}{c} \text{Me} \\ \\ \text{N} \\ \\ \text{CH}_2 \\ \end{array} \\ \begin{array}{c} \text{O-CH}_2 \\ \\ \text{CH}_2 \\ \end{array} \\ \begin{array}{c} \text{N} \\ \\ \text{N} \\ \end{array}$$

CM 2

=> d str cn rn L2

L2 ANSWER 1 OF 1 REGISTRY COPYRIGHT 2006 ACS on STN

$$\begin{array}{c|c} \text{Me} \\ \\ \text{HO} \\ \\ \text{N-CH}_2 \\ \end{array} \\ \begin{array}{c} \text{O-CH}_2\text{-CH}_2 \\ \\ \text{N} \\ \end{array}$$

PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

CN 1H-Indol-5-ol, 2-(4-hydroxyphenyl)-3-methyl-1-[[4-[2-(1-piperidinyl)ethoxy]phenyl]methyl]- (9CI) (CA INDEX NAME)
OTHER NAMES:
CN ERA 923
CN Pipendoxifene
RN 198480-55-6 REGISTRY

=> file caplus
COST IN U.S. DOLLARS

FULL ESTIMATED COST

SINCE FILE TOTAL ENTRY SESSION 24.16 24.37

FILE 'CAPLUS' ENTERED AT 10:55:06 ON 16 OCT 2006 USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT. PLEASE SEE "HELP USAGETERMS" FOR DETAILS. COPYRIGHT (C) 2006 AMERICAN CHEMICAL SOCIETY (ACS)

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FILE COVERS 1907 - 16 Oct 2006 VOL 145 ISS 17 FILE LAST UPDATED: 15 Oct 2006 (20061015/ED)

Effective October 17, 2005, revised CAS Information Use Policies apply. They are available for your review at:

http://www.cas.org/infopolicy.html

=> s 198480-55-6 REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

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PROCESSING COMPLETED FOR L4
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L6 0 19848-33-3

=> s L1

L7 44 L1

=> s 19841-33-3

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=> s 198481-33-3

REG1stRY INITIATED

Substance data SEARCH and crossover from CAS REGISTRY in progress... Use DISPLAY HITSTR (or FHITSTR) to directly view retrieved structures.

L10

44 L9

PATENT NO.

KIND

DATE

APPLICATION NO.

DATE

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L17 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                          2002:51266 CAPLUS
DOCUMENT NUMBER:
                          136:107533
TITLE:
                          Pharmaceutical compositions containing estrogenic
                          agents
INVENTOR(S):
                          Benjamin, Eric Joel; Dulin, Wendy Ann; Suryawanshi,
                          Jiwaji Gulabrao
PATENT ASSIGNEE(S):
                          American Home Products Corporation, USA
SOURCE:
                          PCT Int. Appl., 60 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:
                          Patent
LANGUAGE:
                          English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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PRIORITY APPLN. INFO.:
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AB This invention comprises novel pharmaceutical carrier or excipient systems and oral pharmaceutical formulations comprising as an active ingredient raloxifene, tamoxifen, droloxifene, arzoxifene, or CP 336156, or analogs, or an indole derivative and the excipients chosen from fillers, glidants, lubricants, wetting agents and antioxidants. Thus, a modified formulation contained micronized TSE-424 acetate 5.00, Lactose NF 41.00, microcryst. cellulose 35.00, pregelatinized starch 10.00, sodium lauryl sulfate 1.50, L-ascorbic acid 1.50, sodium starch glycolate 5.50, Mg stearate 0.50 and water qs to 100%.

=> d L18 1 ibib abs

L18 ANSWER 1 OF 1 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:51266 CAPLUS

DOCUMENT NUMBER:

136:107533

TITLE:

Pharmaceutical compositions containing estrogenic

agents

INVENTOR(S):

Benjamin, Eric Joel; Dulin, Wendy Ann; Suryawanshi,

Jiwaji Gulabrao

PATENT ASSIGNEE(S):

American Home Products Corporation, USA

SOURCE: PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

| PATENT NO. | | KIND | DATE | APPLICA | rion no. | DATE |
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PRIORITY APPLN. INFO.:
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     This invention comprises novel pharmaceutical carrier or excipient systems
AB
     and oral pharmaceutical formulations comprising as an active ingredient
     raloxifene, tamoxifen, droloxifene, arzoxifene, or CP 336156, or analogs,
     or an indole derivative and the excipients chosen from fillers, glidants,
     lubricants, wetting agents and antioxidants. Thus, a modified formulation
     contained micronized TSE-424 acetate 5.00, Lactose NF 41.00, microcryst.
     cellulose 35.00, pregelatinized starch 10.00, sodium lauryl sulfate 1.50,
     L-ascorbic acid 1.50, sodium starch glycolate 5.50, Mg
     stearate 0.50 and water qs to 100%.
·=> s L11 or L12
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L22 ANSWER 1 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         2002:142496 CAPLUS
DOCUMENT NUMBER:
                         136:194234
TITLE:
                         Method using a rapamycin and an antiestrogen for
                         treating estrogen receptor-positive carcinoma
INVENTOR(S):
                         Zhang, Yixian; Sadler, Tammy Michelle; Frost, Philip;
                         Greenberger, Lee Martin
PATENT ASSIGNEE(S):
                         American Home Products Corporation, USA; Wyeth
                         PCT Int. Appl., 29 pp.
SOURCE:
                         CODEN: PIXXD2
DOCUMENT TYPE:
                         Patent
LANGUAGE:
                         English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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                         KIND DATE
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OTHER SOURCE(S):
                         MARPAT 136:194234
    The invention provides a method of treating or inhibiting an estrogen
    receptor-pos. carcinoma in a mammal in need thereof, which comprises
    providing the mammal with an effective amount of a combination of a
    rapamycin and an antiestrogen.
L22 ANSWER 2 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                         2002:123193 CAPLUS
DOCUMENT NUMBER:
                         136:179823
TITLE:
                         Characterization, expression and sequence of human
                         lysyl oxidase EER-7 and use of EER-7 for identifying
                         estrogen receptor ligands
INVENTOR(S):
                         Evans, Mark J.; Scicchitano, Marshall S.; Bapat, Ashok
                         R.; Beer, Eric; Bhat, Ramesh A.; Ferris, Elissa;
                         Mastroeni, Robert; Zhang, Jianziong; Karathanasis,
                         Sotirios K.
PATENT ASSIGNEE(S):
                         American Home Products Corporation, USA; Wyeth
                         PCT Int. Appl., 68 pp.
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SOURCE:

CODEN: PIXXD2

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

DOCUMENT TYPE:

| | | APPLICATION NO. | | | |
|--|---|---|---|--|--|
| WO 2002012470
WO 2002012470 | | WO 2001-US24942 | 20010808 < | | |
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GM, HR, HU,
LS, LT, LU, | CZ, DE, DK, DM, ID, IL, IN, IS, LV, MA, MD, MG, SE, SG, SI, SK, | BA, BB, BG, BR, BY, BZ, DZ, EC, EE, ES, FI, GB, JP, KE, KG, KP, KR, KZ, MK, MN, MW, MX, MZ, NO, SL, TJ, TM, TR, TT, TZ, | GD, GE, GH,
LC, LK, LR,
NZ, PL, PT, | | |
| RW: GH, GM, KE,
KZ, MD, RU,
IE, IT, LU, | LS, MW, MZ, SD,
TJ, TM, AT, BE, | SL, SZ, TZ, UG, ZW, AM, CH, CY, DE, DK, ES, FI, TR, BF, BJ, CF, CG, CI, TG | FR, GB, GR, | | |
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A5 20020218
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| | LV, FI, RO, MK, | | • | | |

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                                           US 2000-255838P
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                                           WO 2001-US24942
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The present invention relates to a novel human lysyl oxidase termed EER-7. The invention relates to the protein and nucleic acids encoding the protein. The cDNA sequence and the encoded amino acid sequence of EER-7 are disclosed. Expression of EER-7 is regulated by estrogen. The nucleic acid sequence of EER-7 shows homol. to known lysyl oxidase genes. The invention further relates to an assay system to identify compds. that selectively modulate EER-7 protein activity by interaction with estrogen receptors.

L22 ANSWER 3 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:104615 CAPLUS

DOCUMENT NUMBER: 136:145283

TITLE: Use of an estrogen agonist/antagonist for treating

cataracts

INVENTOR(S):
Rosati, Robert Louis

PATENT ASSIGNEE(S): Pfizer Products Inc., USA SOURCE: Eur. Pat. Appl., 21 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------------------|---------|-------------|---------------------|--------------|
| EP 1177787 | A2 | 20020206 | EP 2001-306066 | 20010713 < |
| EP 1177787 | A3 | 20030910 | | |
| R: AT, BE, CH, | DE, DK, | ES, FR, GB, | GR, IT, LI, LU, NL, | SE, MC, PT, |
| IE, SI, LT, | LV, FI, | RO | | |
| US 2002016340 | A1 | 20020207 | US 2001-915020 | 20010725 < |
| CA 2354208 | AA | 20020128 | CA 2001-2354208 | 20010726 < |
| JP 2002087992 | A2 | 20020327 | JP 2001-225530 | 20010726 < |
| ZA 2001006160 | A | 20030127 | ZA 2001-6160 | 20010726 < |
| PRIORITY APPLN. INFO.: | | | US 2000-221441P | P 20000728 < |
| OTHER SOURCE(S): | MARPAT | 136:145283 | | |

The invention provides methods, pharmaceutical compns., and kits useful in treating cataracts. The compns. are comprised of an estrogen agonist/antagonist and a pharmaceutically acceptable vehicle, carrier, or diluent. The compns. and methods of treatment are effective while substantially reducing the concomitant liability of adverse effects associated with estrogen administration.

L22 ANSWER 4 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:51431 CAPLUS

DOCUMENT NUMBER: 136:112663

TITLE: Methods and formulations using substituted indole

compounds for inhibiting uterotropic effects of

estrogenic agents

INVENTOR(S): Jenkins, Simon Nicholas; Komm, Barry Samuel PATENT ASSIGNEE(S): American Home Products Corporation, USA; Wyeth

SOURCE: PCT Int. Appl., 40 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

| PATENT NO. | | | | | KIND DATE | | APPLICATION NO. | | | | | | DATE | | | | |
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| WO | 2002 | 0044 | 18 | | A2 | | 2002 | 0117 | 1 | WO 2 | 001- | US20: | 992 | | 2 | 0010 | 629 < |
| WO | 2002 | 0044 | 18 | | A3 | | 2003 | 1106 | | | | | | | | | |
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| US | 2002 | 0288 | 05 | | A1 | | 2002 | 0307 | | US 2 | 001- | 8964 | 41 | | 2 | 0010 | 629 < - - |
| PRIORIT | Y APP | LN. | INFO | .: | | | | | | US 2 | 000- | 2161 | 91P | | P 2 | 0000 | 706 < |
| OTHER S | OURCE | (S): | | | MAR: | PAT | 136: | 1126 | 63 | | | | | | | | |
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AΒ This invention comprises methods and pharmaceutical compns. for minimizing in a mammal the uterotropic effect of a therapeutic compound selected from the group of tamoxifen, droloxifene, raloxifene, idoxifene, centrochroman, levor, meloxifene, TAT-59, GW 5838 or LY-353381, comprising administration of I or II (R1 = H, OH or the C1-C12 esters or C1-C12 alkyl ethers thereof, or halogens; or C1-C4 halogenated ethers including trifluoromethyl ether and trichloromethyl ether; R2, R3, R4, R5, and R6 = H, OH or C1-C12 esters or C1-C12 alkyl ethers thereof, halogens, or C1-C4 halogenated ethers, cyano, C1-C6 alkyl, or trifluoromethyl, with the proviso that, when R1 = H, R2 is not OH; n = 1, 2, or 3; Y = -N(R7)(R8); R7 and R8 = alkyl or concatenated together to form an optionally substituted, nitrogen-containing ring) or a pharmaceutically acceptable salt thereof. When co-dosed with ERA-923, the uterotropic effect of raloxifene was reduced to control values or less at all doses except for 1 µg combined with 10 μ g of raloxifene.

L22 ANSWER 5 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:51271 CAPLUS

DOCUMENT NUMBER:

136:107535

TITLE:

Therapy for prosthesis-related bone degeneration

INVENTOR(S):

Jenkins, Simon Nicholas; Komm, Barry Samuel; Miller,

Christopher Paul

PATENT ASSIGNEE(S):

American Home Products Corporation, USA

SOURCE:

PCT Int. Appl., 41 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

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PRIORITY APPLN. INFO.:
                                           US 2000-216406P
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                                           US 2000-216407P
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                       MARPAT 136:107535
OTHER SOURCE(S):
    Methods for treating bone prosthesis degeneration comprise the
    administration of an indole derivative or its salt, and optionally an
     estrogen. Thus, a rapid dissoln. formulation contained TSE-424 acetate
     10.00, Lactose NF fast flow 33.10, Avicel PH-101 25.00, Starch-1500 20.00,
     sodium lauryl sulfate 1.50, sodium starch glycolate 10.00, Syloid-244 FP
     0.15, and Mg stearate 0,25%.
    ANSWER 6 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                        2002:51270 CAPLUS
DOCUMENT NUMBER:
                        136:96098
TITLE:
                        Methods for increasing nitric oxide synthase activity
                        with substituted indole compounds
INVENTOR(S):
                        Adelman, Steven Jay; Argentieri, Thomas Michaell
PATENT ASSIGNEE(S):
                        American Home Products Corporation, USA
SOURCE:
                        PCT Int. Appl., 40 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT:
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PRIORITY APPLN. INFO.:
                                           US 2000-216187P
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MARPAT 136:96098

GΙ

- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- AB This invention provides methods of increasing or maintaining mammalian nitric oxide synthase activity and output of nitric oxide comprising administering I or II (R1 = H, OH or the C1-C12 esters of C1-C12 alkyl ethers thereof, or halogens; or C1-C4 halogenated ethers including trifluoromethyl ether and trichloromethyl ether; R2, R3, R4, R5, R6 = H, OH or C1-C12 alkyl ethers thereof, halogens, or C1-C4 halogenated ethers, cyano, C1-C5 alkyl, or trifluoromethyl, with the proviso that, when R1 = H, R2 is not OH; X = H, C1-C6 alkyl, cyano, nitro, trifluoromethyl, halogen; Z = -O(CH2)nY, -CH=CHCOY, -CC(CH2)nY; Y = -N(R7)(R8); n = 1, 2, 3; R7, R8 = alkyl or concatenated together to form an optionally substituted, nitrogen-containing ring) or a pharmaceutically acceptable salt thereof. TSE-424 hydrochloride was tested for its effect on the basal release of NO from the aortic rings of ovariectomized rats. Formulations containing TSE-424 acetate are given.

L22 ANSWER 7 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:51269 CAPLUS

DOCUMENT NUMBER: 136:107534

TITLE: Treatment of excessive intraocular hypertension

INVENTOR(S):
Jenkins, Simon Nicholas

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: PCT Int. Appl., 38 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | | | | | KIND DATE | | | | APPLICATION NO. | | | | | DATE | | | |
|------------|--------------|-----|-----|-----|-----------|------|--------------|-----|-----------------|-----------|------|------|-----|------|-----|------|-------|
| _ | 2002
2002 | | | | A2
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6509 | | 33 | | A1
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2003 | | | US 2 | 001- | 8962 | 23 | | 21 | 0010 | 629 < |
| PRIORIT | Y APP | LN. | | .: | | | | | | US 2 | 000- | 2161 | 89P | | P 2 | 0000 | 706 < |
| 7D M- | | £ | 4.1 | 4 | _ 1 | - 4- | | | | 2 - 1- 21 | | | | | | _ | |

AB Methods for the treatment, prevention, inhibition or alleviation of the problems associated with excessive intraocular hypertension comprise the administration of an indole derivative Thus, a rapid dissoln. formulation contained micronized TSE-424 acetate 10.00, Lactose NF fast flow 33.10, Avicel PH-101 25.00, Starch-1500 20.00, sodium lauryl sulfate 1.50, sodium starch glycolate 10.00, Syloid-244 FP 0.15, and Mg stearate 0.25%.

L22 ANSWER 8 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:51268 CAPLUS

DOCUMENT NUMBER: 136:123638

TITLE: Indole derivatives and estrogens for inhibiting

sphincter incontinence

INVENTOR(S): Jenkins, Simon Nicholas; Argentieri, Thomas Michaell;

Miller, Christopher Paul

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: LANGUAGE: Patent English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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| OTHER SO | OURCE | (S): | | | MAR | PAT | 136: | 1236 | | 2 | 001 | JU21 | | | 2 | | | • |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention comprises methods of inducing or maintaining sphincter continence, or inhibiting or alleviating incontinence, in a mammal comprising administration of a compound such as I and or a pharmaceutically acceptable salt thereof and optionally an estrogen. A formulation was prepared containing I acetate.

L22 ANSWER 9 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

2002:51267 CAPLUS

DOCUMENT NUMBER:

136:123637

TITLE:

GI

Indole derivatives for treating neuropeptide Y-related

conditions

INVENTOR(S):

Jenkins, Simon Nicholas

PATENT ASSIGNEE(S):

American Home Products Corporation, USA

SOURCE:

PCT Int. Appl., 38 pp.

DOCUMENT TYPE:

CODEN: PIXXD2 Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

PATENT NO.

KIND DATE

APPLICATION NO.

DATE

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OTHER SOURCE(S):
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GI
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- This invention comprises methods of treating treatment or prevention of AB diseases associated with an excess of neuropeptide Y comprising administration of a compound such as I. A formulation was prepared containing I.

CAPLUS COPYRIGHT 2006 ACS on STN L22 ANSWER 10 OF 24

ACCESSION NUMBER:

2002:51266 CAPLUS

DOCUMENT NUMBER:

136:107533

TITLE:

Pharmaceutical compositions containing estrogenic

agents

INVENTOR(S):

Benjamin, Eric Joel; Dulin, Wendy Ann; Suryawanshi,

Jiwaji Gulabrao

PATENT ASSIGNEE(S):

American Home Products Corporation, USA

SOURCE:

PCT Int. Appl., 60 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

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ZA 2003001004 A 20040505 ZA 2003-1004 20030205 <--
PRIORITY APPLN. INFO.:

WO 2001-US20993 W 20010629 <--
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AB This invention comprises novel pharmaceutical carrier or excipient systems and oral pharmaceutical formulations comprising as an active ingredient raloxifene, tamoxifen, droloxifene, arzoxifene, or CP 336156, or analogs, or an indole derivative and the excipients chosen from fillers, glidants, lubricants, wetting agents and antioxidants. Thus, a modified formulation contained micronized TSE-424 acetate 5.00, Lactose NF 41.00, microcryst. cellulose 35.00, pregelatinized starch 10.00, sodium lauryl sulfate 1.50, L-ascorbic acid 1.50, sodium starch glycolate 5.50, Mg stearate 0.50 and water qs to 100%.

L22 ANSWER 11 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:51265 CAPLUS

DOCUMENT NUMBER: 136:123636

TITLE: Indole derivatives for treating breast disorders

INVENTOR(S): Miller, Christopher Paul

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: PCT Int. Appl., 45 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
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A3 20020808 | WO 2001-US20895 | 20010629 < |
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PT, SE, TR, BF, |
| | | US 2001-896266 | |
| OTHER SOURCE(S): | MARPAT 136:123636 | ο̂ | |

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB This invention comprises methods of treating treatment of breast disorder comprising administration of a compound such as I. A rapid dissoln. formulation was prepared containing I acetate.

L22 ANSWER 12 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:51256 CAPLUS

DOCUMENT NUMBER: 136:107532

TITLE: Combinations of statins, estrogenic agents and

optionally estrogens

INVENTOR(S): Jenkins, Simon Nicholas; Komm, Barry Samuel; Miller,

Christopher Paul

PATENT ASSIGNEE(S): American Home Products Corporation, USA; Wyeth

SOURCE: PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent English

LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND DATE | APPLICATION NO. | DATE |
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| | A2 20020117
A3 20030904 | WO 2001-US21085 | 20010629 < |
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CO, CR,
GM, HR,
LS, LT, | AL, AM, AT, AU, AZ, CU, CZ, DE, DK, DM, HU, ID, IL, IN, IS, LU, LV, MA, MD, MG, SD, SE, SG, SI, SK, | BA, BB, BG, BR, BY, DZ, EC, EE, ES, FI, JP, KE, KG, KP, KR, MK, MN, MW, MX, MZ, SL, TJ, TM, TR, TT, | GB, GD, GE, GH,
KZ, LC, LK, LR,
NO, NZ, PL, PT, |
| RW: GH, GM,
KZ, MD,
IE, IT, | KE, LS, MW, MZ, SD, RU, TJ, TM, AT, BE, | SL, SZ, TZ, UG, ZW, CH, CY, DE, DK, ES, TR, BF, BJ, CF, CG, | FI, FR, GB, GR, |
| CA 2414060
US 2002019391 | AA 20020117 | CA 2001-2414060
US 2001-896353 | |
| US 2002025952
BR 2001012365 | A1 20020228
A 20030513 | US 2001-896632
BR 2001-12365
EP 2001-950826 | 20010629 < |
| R: AT, BE,
IE, SI, | CH, DE, DK, ES, FR, LT, LV, FI, RO, MK, | GB, GR, IT, LI, LU, CY, AL, TR | NL, SE, MC, PT, |
| PRIORITY APPLN. INFO | .: | US 2000-216184P
WO 2001-US21085 | P 20000706 <
P 20000706 < |

OTHER SOURCE(S): MARPAT 136:107532

This invention comprises methods of treating cardiovascular disorders and lowering blood LDL levels comprising administration of a statin, an estrogen and indole derivs. Thus, a rapid dissoln. formulation contained micronized TSE-424 acetate 10.00, Lactose NF fast flow 33.10, Avicel PH-101 25.00, Starch-1500 20.00, sodium lauryl sulfate 1.50, sodium starch glycolate 10.00, Syloid-244 FP 0.15, and Mg stearate 0.25%.

L22 ANSWER 13 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2002:51255 CAPLUS

DOCUMENT NUMBER:

136:107531

TITLE:

Combinations of bisphosphonates, estrogenic agents and

optionally estrogens

INVENTOR(S):

Jenkins, Simon Nicholas; Komm, Barry Samuel; Miller,

Christopher Paul

PATENT ASSIGNEE(S):

American Home Products Corporation, USA

SOURCE:

PCT Int. Appl., 43 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

| PATENT 1 | NO. | | | KIN | D | DATE | | | APPL | ICAT | ION 1 | NO. | | D | ATE | |
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| WO 2002 | 00397 | 76 | | A2 | | 2002 | 0117 | 1 | WO 2 | 001- | US20: | 970 | | 2 | 0010 | 629 < |
| WO 2002 | 00397 | 76 | | А3 | | 2003 | 0103 | | | | | | | | | |
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PRIORITY APPLN. INFO.:
                                          US 2000-216069P
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                                          WO 2001-US20970
                                                            W 20010629 <--
OTHER SOURCE(S):
                        MARPAT 136:107531
    Methods of treating bone disorders and lowering blood LDL levels comprise
    administration of a bisphosphonate, and an indole derivative Thus, a rapid
    dissoln. formulation contained micronized TSE-424 acetate 10.00,
    Lactose-NF fast flow 33.10, Avicel-PH 101 25.00, Starch-1500 20.00, sodium
     lauryl sulfate 1.50, sodium starch glycolate 10.00, Syloid-244 FP 0.15,
     and Mg stearate 0.25%.
L22 ANSWER 14 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
ACCESSION NUMBER:
                    2002:51254 CAPLUS
DOCUMENT NUMBER:
                        136:107530
TITLE:
                        Combinations of serotonin reuptake inhibitor and
                        estrogenic agents
INVENTOR(S):
                        Jenkins, Simon Nicholas
PATENT ASSIGNEE(S):
                        American Home Products Corporation, USA
SOURCE:
                        PCT Int. Appl., 41 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
                        English
LANGUAGE:
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
                       KIND DATE APPLICATION NO.
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                                                                DATE
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    WO 2002003975
                       A2
                               20020117 WO 2001-US20738
                                                                20010629 <--
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            VN, YU, ZA, ZW
        RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE, CH, CY,
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            BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG
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    US 2002042432
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                        A1
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            IE, FI, CY, TR
PRIORITY APPLN. INFO.:
                                          US 2000-216408P
                                                             P 20000706 <--
                                          EP 2001-952310
                                                            A3 20010629 <--
                                          WO 2001-US20738
                                                             W 20010629 <--
OTHER SOURCE(S):
                      MARPAT 136:107530
    Methods of treating depression, anxiety, generalized anxiety disorder
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(GAD), hot flash, postpartum depression, premenstrual syndrome, obesity, obsessive compulsive disorder, post-traumatic stress disorder, social phobia, disruptive behavior disorders, impulse control disorders, borderline personality disorder, chronic fatigue disorder, premature ejaculation, pain, attention deficit disorders, with and without hyperactivity, Gilles de la Tourette syndrome, bulimia nervosa, or Shy Drager Syndrome comprise administration of a selective serotonin reuptake inhibitor and an indole derivative Thus, a rapid dissoln. formulation contained micronized TSE-424 acetate 10.00, Lactose-NF fast flow 33.10, Avicel-PH 101 25.00, Starch-1500 20.00, sodium lauryl sulfate 1.50, sodium starch glycolate 10.00, Syloid-244 FP 0.15, and Mg stearate 0.25%.

L22 ANSWER 15 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:876601 CAPLUS

DOCUMENT NUMBER: 136:1102

TITLE: Antiestrogen plus progestin containing oral

contraceptives

INVENTOR(S): Gast, Michael J.; Miller, Christopher P. PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: U.S., 11 pp.

CODEN: USXXAM

DOCUMENT TYPE: Patent English LANGUAGE:

FAMILY ACC. NUM. COUNT:

PATENT INFORMATION:

| PATENT NO. | KIND | DATE | APPLICATION NO. | | DATE |
|------------------------|------|----------|------------------|----|------------|
| | | | | | |
| US 6326392 | В1 | 20011204 | US 1998-185058 | | 19981103 < |
| US 2002061875 | A1 | 20020523 | US 2001-989074 | | 20011121 < |
| PRIORITY APPLN. INFO.: | | | US 1997-93051P . | P | 19971106 < |
| | | | US 1997-965083 | Α | 19971106 < |
| | | | US 1998-185058 | Δ3 | 19981103 < |

OTHER SOURCE(S): MARPAT 136:1102

A method of providing oral contraception comprises administering to a female of child bearing age a same dosage of a combination of a non-uterotrophic antiestrogen and a progestin for 28 days per 28-day menstrual cycle. For example, a contraceptive kit adapted for daily oral administration comprises 28 sep. dosage units, each containing a combination of 0.5-25 mg 1-[4-(2-azepan-1-yl-ethoxy)benzyl]-2-(4-hydroxyphenyl)-3methyl-1H-indol-5-ol acetate and 30-150 μg levonorgestrel.

REFERENCE COUNT: 24 THERE ARE 24 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 16 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 2001:796237 CAPLUS

DOCUMENT NUMBER:

TITLE: Synthesis and use of pyrazolo-pyrimidines as estrogen

agonists/antagonists for treating female sexual

dysfunction

INVENTOR(S): Lee, Andrew George; Thompson, David Duane; Day, Wesley

Warren

PATENT ASSIGNEE(S): Pfizer Products Inc., USA

SOURCE: Eur. Pat. Appl., 47 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
|------------|------|----------|-----------------|------------|
| | | | | |
| EP 1149579 | A2 | 20011031 | EP 2001-303481 | 20010412 < |
| EP 1149579 | A 3 | 20030604 | | • |

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R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT,
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    JP 2001302547
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    NZ 511131
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                                        NZ 2001-511131
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                       A5
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                              20011025
                                        AU 2001-38734
                                                                20010418 <--
    AU 783165
                       B2
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PRIORITY APPLN. INFO.:
                                          US 2000-266387P
OTHER SOURCE(S):
                      MARPAT 135:344497
GI
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* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

Title compds. I [A = CH2, NR; X, D, E = CH, N; Y = Ph, naphthyl, cycloalk(en)yl, heterocyclyl, etc.; Z1 = alkyl, alkyloxy, alkylamino, etc.; G = amino; R = H, alkyl; n = 0 - 2] were prepared For example, 4-amino-3-ethyl-1H-pyrazole-5-carboxamide was condensed with 3-carboxy-2-ethoxy-5-(4-ethylpiperazin-1-ylsulfonyl)pyridine (preparation given, DMF, HOBt, Et3N, EDCI, room temperature, 6 h). The pyrazole moiety of the resulting adduct was N-alkylated (DMF, Cs2CO3, Br(CH2)2OMe, 60°C, 18 h) and cyclized to pyrazolo[4,3-d]pyrimidine II (EtOH, EtOAc, KHMDS, 120°C, 12 h). I are estrogen receptor agonists/antagonists and when co-administered with a cyclic 3',5'-guanosine monophosphate elevator, are used to treat (e.g.) hypoactive sexual desire disorder, sexual arousal disorder, etc.

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L22 ANSWER 17 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
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ACCESSION NUMBER:

2001:564841 CAPLUS

DOCUMENT NUMBER:

135:132470

TITLE:

Selective estrogen receptor modulators in combination

with estrogens for therapeutic use

INVENTOR(S):

Labrie, Fernand

PATENT ASSIGNEE(S):

Endorecherche, Inc., Can. PCT Int. Appl., 160 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

SOURCE:

English

FAMILY ACC. NUM. COUNT: 1

| PA' | PATENT NO. | | | | | KIND DATE | | | | APPLICATION NO. | | | | | | | | |
|-----|------------|------|-----|-----|-----|-----------|------|------|-------------------|-----------------|-----|------------|-----|-----|-----|------|-------------------|-------------|
| WO | 2001 | 0546 | 99 | | A1 | _ | 2001 | 0802 | 1 | | | | | | 20 | 0010 | -
126 · | < |
| | W: | ΑE, | AG, | AL, | AM, | AT, | ΑU, | AZ, | BA, | BB, | BG, | BR, | BY, | BZ, | CA, | CH, | CN, | |
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| ~ - | 0005 | | CF, | | CI, | | | | | | | | | | | | | |
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| EΡ | 1251 | | | | | | | | | | | | | | | | | < |
| | R: | AT, | | | | | | | | | | LI, | LU, | NL, | SE, | MC, | PT, | |
| | | | | | LV, | | | | | | | | | | | | | |
| | 2001 | | - | | Α | | | | | | | | | | 20 | 0010 | 126 · | <- <i>-</i> |
| | | | | | | | | |)8 JP 2001-554683 | | | | | | | | | |
| ΝZ | 5343 | 48 | | | Α | | 2006 | 0630 | 0 NZ 2001-534348 | | | 20010126 < | | | | < | | |

| US 2002198179 | A1 | 20021226 | US | 2001-52803 | | 20011107 < |
|------------------------|----|----------|----|--------------|----|------------|
| US 2003040510 | A1 | 20030227 | US | 2001-52824 | | 20011107 < |
| US 2003065008 | A1 | 20030403 | US | 2002-143894 | | 20020509 < |
| NO 2002003484 | Α | 20020722 | NO | 2002-3484 | | 20020722 < |
| ZA 2002005926 | Α | 20030724 | ZA | 2002-5926 | | 20020724 < |
| AU 2006201900 | A1 | 20060601 | AU | 2006-201900 | | 20060505 < |
| PRIORITY APPLN. INFO.: | | | US | 2000-178601P | P | 20000128 < |
| | | • | ΑU | 2001-29913 | A3 | 20010126 < |
| | | | US | 2001-771180 | A1 | 20010126 < |
| | | | WO | 2001-CA86 | W | 20010126 < |

OTHER SOURCE(S):

MARPAT 135:132470

GT

$$R^2$$
 R^2
 R^3

Methods for reduction or elimination of the incidence of hot flashes and AB menopausal symptoms, while decreasing the risk of acquiring breast or endometrial cancer and furthermore treating and/or inhibiting the development of osteoporosis, hypercholesterolemia, hyperlipidemia, atherosclerosis, hypertension, insulin resistance, diabetes, loss of muscle mass, obesity, irregular menstruation, Alzheimer's disease, or vaginal dryness in susceptible warm-blooded animals, including humans, involves administration of selective estrogen receptor modulators, particularly compds. I (R1, R2 = OH, moiety convertible to OH in vivo; R3 = (un)saturated (substituted) pyrrolidinyl, (un)saturated (substituted) piperidinyl, etc.) and an amount of an estrogen or mixed estrogenic/androgenic compound Further administration of bisphosphonates, or a sex steroid precursor is specifically disclosed for the medical treatment and/or inhibition of development of some of these above-mentioned diseases. Pharmaceutical compns. for delivery of active ingredient(s) and kit(s) useful to the invention are also disclosed. REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS

RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 18 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:
DOCUMENT NUMBER:

2001:559558 CAPLUS 135:142234

TITLE:

Compositions and methods for treating conditions

responsive to estrogen

INVENTOR(S):

Thompson, David Duane; Lee, Andrew George; Day, Wesley

Warren; Rosati, Robert Louis

PATENT ASSIGNEE(S): SOURCE:

Pfizer Products Inc., USA Eur. Pat. Appl., 36 pp.

CODEN: EPXXDW

DOCUMENT TYPE:

Patent English

LANGUAGE:

Engi

FAMILY ACC. NUM. COUNT:

| PATENT NO. | KIND | DATE | APPLICATION NO. | DATE |
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| | | | | |
| EP 1120114 | A2 | 20010801 | EP 2001-300221 | 20010111 < |
| EP 1120114 | A3 | 20030820 | | |

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PRIORITY APPLN. INFO.:
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                                                             A3 20010110 <--
                                           US 2001-758778
                                                              A3 20010111 <--
OTHER SOURCE(S):
                        MARPAT 135:142234
     This invention relates to methods, pharmaceutical compns. and kits useful
     in treating conditions responsive to estrogen by the administration of
     estrogen agonists/antagonists. Conditions responsive to the compns.
     include rheumatoid arthritis, colon cancer, tissue wounds, skin wrinkles
     and cataracts. The compns. are comprised of an estrogen
     agonist/antagonist and a pharmaceutically acceptable vehicle, carrier or
     diluent. The compns. and methods of treatment are effective while
     substantially reducing the concomitant liability of adverse effects
     associated with estrogen administration. The in vitro antiproliferative
     effects of (-)-cis-6-phenyl-5-[4-(2-pyrrolidin-1-ylethoxy)phenyl]-5,6,7,8-
     tetrahydronaphthalene-2-ol were tested in 2 types of human breast cancer
     cell lines: first, MCF-7 cells, which contain ER as well as progesterone
     receptors (PgR), and second, MDA-MB-231 cells, which lack ER and PgR, and
     enable the determination of an effect that is independent of the ER mechanism.
     Growth inhibition was ER-specific and not due to cytotoxicity since the
     compound had no measurable effect on the ER-neg. cell line.
L22 ANSWER 19 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
                        2001:283784 CAPLUS
ACCESSION NUMBER:
DOCUMENT NUMBER:
                        134:305328
TITLE:
                        Selective estrogen receptor modulators in the
                        treatment or reduction of the risk of acquiring
                        hypertension, cardiovascular diseases, and insulin
                        resistance
INVENTOR(S):
                        Labrie, Fernand; Marette, Andre
PATENT ASSIGNEE(S):
                        Endorecherche, Inc., Can.
SOURCE:
                        PCT Int. Appl., 62 pp.
                        CODEN: PIXXD2
DOCUMENT TYPE:
                        Patent
LANGUAGE:
                        English
FAMILY ACC. NUM. COUNT:
PATENT INFORMATION:
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            HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT,
            LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, PL, PT, RO, RU,
            SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
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DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ,

US 1999-159359P

P 19991014 <--

CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: OTHER SOURCE(S): MARPAT 134:305328

AB Methods are provided for the medical treatment and/or inhibition of the development of hypertension, cardiovascular diseases, insulin resistance, and diabetes in susceptible warm-blooded animals, including humans, involving administration of a selective estrogen receptor modulator, e.g. EM-652.HCL (I).

L22 ANSWER 20 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1999:795633 CAPLUS

DOCUMENT NUMBER:

132:40534

TITLE:

SOURCE:

Therapeutic uses of a selective estrogen receptor modulator in combination with sex hormone precursors

INVENTOR(S):

Labrie, Fernand

PATENT ASSIGNEE(S):

Endorecherche, Inc., Can. PCT Int. Appl., 104 pp.

CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

| PATENT NO. | | | | | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | | | |
|------------|--------------|------|-----|-----|---------------|-----|------|-----------------|---------------|------|------|------|------|------|------|------|-----|---|
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9963 | - | | | A3 ' 20000629 | | | | | | | | | | | | | |
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| | | JP, | ΚE, | KG, | ΚP, | KR, | ΚZ, | LC, | LK, | LR, | LS, | LT, | LU, | LV, | MD, | MG, | MK, | |
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A3 19990610 <--
A3 19990610 <--
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PRIORITY APPLN. INFO.:
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                                                             W 19990610 <--
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                                                              A3 19990924 <--
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OTHER SOURCE(S): MARPAT 132:40534

Novel methods for the treatment and/or inhibition of the development of osteoporosis, breast cancer, hypercholesterolemia, hyperlipidemia or atherosclerosis in animals and humans involve administration of selective estrogen receptor modulator such as benzopyran or chroman derivs. and an amount of a sex hormone precursor, e.g., dehydroepiandrosterone, androst-5-ene-3 β ,17 β -diol and compds. converted in vivo to one of the foregoing precursors. Further administration of bisphosphonates in combination with selective estrogen receptor modulators and/or sex hormone precursor is disclosed for the medical treatment and/or inhibition of the development of osteoporosis. Pharmaceutical compns. for delivery of active ingredient(s) and kit(s) useful to the invention are also disclosed. Thus, EM-01538 (benzopyran derivative) was prepared in a series of steps starting from resorcinol and 4-hydroxyphenylacetic acid. Capsules contained EM-800 5.0, lactose 80.0, starch 9.8, microcryst. cellulose 9.8, and Mg stearate 0.4%. The effectiveness of the benzopyran derivs. in the treatment of hypercholesterolemia was demonstrated.

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L22 ANSWER 21 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN
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ACCESSION NUMBER:

1999:753069 CAPLUS

DOCUMENT NUMBER:

132:3312

TITLE:

2-Phenyl-1-[4-(2-aminoethoxy)benzyl]indoles for use in

combination with estrogens in hormone replacement

therapy

INVENTOR(S):

Pickar, James Harrison; Komm, Barry Samuel

PATENT ASSIGNEE(S):

American Home Products Corporation, USA

SOURCE:

PCT Int. Appl., 132 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent

LANGUAGE:

English

FAMILY ACC. NUM. COUNT:

| PAT | PATENT NO. | | | | | KIND DATE | | | APPLICATION NO. | | | | | | DATE | | | |
|-----|------------|-----|-----|-----|-------------|-----------|-----|------|-----------------|-------|-----|-----|-----|-------|-------|-----|-----|--|
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| | | TM, | TR, | TT, | UA, | UG, | UZ, | VN, | YU, | ZA, | ZW | | | | | | | |
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| | | | | | GB, | | | | | | | | SE, | BF, | ВJ, | CF, | CG, | |
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| | | | | | 1999-US10217 | W | 19990511 | < |
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OTHER SOURCE(S): MARPAT 132:3312 GI

$$R^{1}$$
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 R^{3}
 R^{4}
 R^{5}
 R^{6}

HO OH OH
$$O-(CH_2)_2-N$$

ΑB Title compds. (I) [where R1 = H, OH, alkyl ester, alkyl ether, halo, or C1-C4 halogenated ether; R2, R3, R4, R5, and R6 = independently H, OH, alkyl ester, alkyl ether, halo, C1-C4 halogenated ether, CN, alkyl, or CF3; when R1 = H, R2 \neq OH; X = H, alkyl, CN, NO2, CF3, or halo; n = 2 or 3; Y = (un) substituted amino or (bicyclic) heterocyclyl] were prepared as estrogenic agents for the prevention or treatment of cardiovascular disease, diseases resulting from proliferation or abnormal development, actions or growth of endometrial tissue, or diseases related to estrogen deficiency. Thus, 5-benzyloxy-2-(4-benzyloxyphenyl)-3-Me-1H-indole (preparation given) was treated with NaH followed by addition of Et 4-(chloromethyl)phenoxyacetate to give the N-substituted indole. The acetate was hydrogenated with LiAlH4 and the resulting alc. converted to the bromide by treatment with CBr4. Addition of piperidine followed by deprotection using 10% Pd/C in EtOH yielded II, which showed an IC50 of 0.060 µM against estrogen receptor binding. In a 6-wk ovariectomized rat study, the bone mineral d. of the proximal tibia and fourth lumbar vertebrae, body weight, uterine weight, and cholesterol in female Spraque Dawley

CD rats treated with II.HCl were compared with measurements taken of controls and those treated with raloxifene or 17β -estradiol. Estrogen receptor binding data and human estrogen receptor transactivational capacity are reported for approx. 60 invention compds., and the estrogenic and antiestrogenic properties of 11 compds. were determined in an immature rat uterotrophic assay.

REFERENCE COUNT:

11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 22 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER:

1999:325785 CAPLUS

DOCUMENT NUMBER:

130:347882

TITLE:

Oral contraceptives containing antiestrogen and

progestin

INVENTOR(S): PATENT ASSIGNEE(S): Gast, Michael Jay; Miller, Christopher Paul

American Home Products Corporation, USA

SOURCE:

PCT Int. Appl., 22 pp. CODEN: PIXXD2

DOCUMENT TYPE:

Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT:

| | PATENT NO. | | | | | | | | APPLICATION NO. | | | | | | | | | |
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9924 | 027 | | | A2 | | 1999 | 0520 | | | 998- | | | | 1 | 9981 | 104 <- | |
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MW, | |
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179 | | | Α | | 2003(
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OTHER SOURCE(S): MARPAT 130:347882

AB This invention provides a method of providing contraception which comprises administering to a female of child-bearing age a combination of a non-uterotrophic anti-estrogen and a progestin for 28 days/28-day menstrual cycle. When 2-(4-hydroxyphenyl)-3-methyl-1-[4-(2-(azepan-1-yl)ethoxy)benzyl]-1H-indol-5-ol (I) and levonorgestrel are administered according to a 28-day monophasic regimen, the dosage with I at 2 mg and levonorgestrel at 90 μg is preferred.

L22 ANSWER 23 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1999:271329 CAPLUS

DOCUMENT NUMBER: 130:296613

TITLE: Preparation of N-[(aryloxy)alkyl]piperidines and

analogs as pharmaceutical intermediates

INVENTOR(S): Raveendranath, Panolil; Zeldis, Joseph; Vid, Galina;

Potoski, John Richard; Ren, Jianxin

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

| PAT | TENT | | KIN | ND DATE | | | APPLICATION NO. | | | | | | DATE | | | | | |
|-----|------|------|-----|---------|-----|----------|-----------------|------|-----|-----------------------------------|------|------|------|-----|------------|------|-----|---|
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| | RW: | GH, | | | | | | | | | | | | | | | | |
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CA 1998-2306343 | | | | | | 9980 | | |
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| | R: | AT, | BE, | CH, | DE, | DK, | ES, | FR, | GB, | GR, | IT, | LI, | LU, | NL, | SE, | PT, | ΙE, | |
| | | | LT, | LV, | FI, | | | | | | | | | | | | | |
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OTHER SOURCE(S): MARPAT 130:296613

AB R(CR1R2)mZZ1CR1R2R3 [R = NR7R8, heterocyclyl, heteroaryl; R1,R2 = H or (perfluoro)alkyl; R3 = halo, OSO2Me, OSO2CF3, OSO2C6H4R4-4; R4 = halo, NO2, Me, CF3; R7,R8 = H, alkyl, Ph; Z = O or SOO-2; Z1 = (un)substituted phenylene; m = 1-4] were prepared Thus, 4-(HO)C6H4CHO was etherified by 1-(2-chloroethyl)piperidine and the product converted in 2 steps to RCH2CH2OC6H4(CH2Cl)-4 (R = 1-piperidinyl). The latter was employed in preparation of estrogenic 2-(4-hydroxyphenyl)-3-methyl-1-[4-(2-piperidin-1-ylethoxy)benzyl]-1H-indol-5-ol. Data for biol. activity of pharmaceutical agents were given.

REFERENCE COUNT: 9 THERE ARE 9 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L22 ANSWER 24 OF 24 CAPLUS COPYRIGHT 2006 ACS on STN

ACCESSION NUMBER: 1997:701837 CAPLUS

DOCUMENT NUMBER: 127:358782

TITLE: Preparation of 2-phenyl-1-[4-(2-

aminoethoxy)benzyl]indoles as estrogenic agents
INVENTOR(S): Miller, Chris P.; Tran, Bach D.; Collini, Michael D.

PATENT ASSIGNEE(S): American Home Products Corporation, USA

SOURCE: Eur. Pat. Appl., 85 pp.

CODEN: EPXXDW

DOCUMENT TYPE: Patent LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1

| | TENT N | | | | | | DATE | | | | | | ION | | | DATE | | | |
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| | | | LT, | LV, | FΙ, | RO | | | | | | | | | | | | | |
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| | 22030 | | | | AA | | 19971 | 1019 | | | | | | | | 1: | 9970 | 418 | < |
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| - | 30956 | | | | В1 | | 20010 | 0219 | | | | | • | | | | | | |
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| | 11063 | | | | В | | 20030 | 0423 | | | | | | | | | | | |
| JP | 10036 | 6346 | | | A2 | | 19980 | 0210 | | JΡ | 19 | 97- | 1015 | 63 | | 1: | 9970 | 418 | < |
| | 22030 | | | | AA | | 19981 | L004 | | CA | 19 | 97- | 2203 | 078 | | 1: | 9970 | 418 | < |
| | 12070 | | | | A1 | | 20050 | 925 | | IL | 19 | 97- | 1207 | 01 | | 1: | 9970 | 418 | < |
| | 97018 | | | | Α | | 19981 | 1110 | | BR | 19 | 97- | 1895 | | | 1: | 9970 | 422 | < |
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- * STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY AVAILABLE VIA OFFLINE PRINT *
- The title compds. [I or II; R1 = H, OH, C1-12 ester, etc.; R2-R6 = H, OH, C1-6 alkyl, etc.; X = H, C1-6 alkyl, CN, etc.; n = 2-3; Y = NR7R8 (wherein R7, R8 = H, C1-6 alkyl, (un) substituted Ph; R7R8 = (CH2)p; p = 2-6), 5-7 membered (un) saturated heterocycle, C6-12 bicyclic heterocycle] and their salts, useful as estrogenic agents for treating or preventing bone loss, disease states or syndromes which are caused or associated with an estrogen deficiency, cardiovascular disease, and disease which result from proliferation or abnormal development, actions or growth of endometrial or endometrial-like tissue, were prepared Thus, reaction of 5-benzyloxy-2-(4-benzyloxyphenyl)-1-[4-(2-bromoethoxy)benzyl]-3-methyl-1H-indole with piperidine in THF followed by treatment of the resulting 5-benzyloxy-2-(4-benzyloxyphenyl)-3-methyl-1-[4-(2-piperidin-1-ylethoxy)benzyl]-1H-indole with cyclohexadiene in the presence of 10% Pd/C in THF/EtOH afforded the title compound III which showed IC5 of 0.060 nM against estrogen receptor binding.